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LOGINID:sssptal600rxa

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 Apr 08 "Ask CAS" for self-help around the clock  
NEWS 3 Apr 09 BEILSTEIN: Reload and Implementation of a New Subject Area  
NEWS 4 Apr 09 ZDB will be removed from STN  
NEWS 5 Apr 19 US Patent Applications available in IFICDB, IFIPAT, and IFIUDB  
NEWS 6 Apr 22 Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS  
NEWS 7 Apr 22 BIOSIS Gene Names now available in TOXCENTER  
NEWS 8 Apr 22 Federal Research in Progress (FEDRIP) now available  
NEWS 9 Jun 03 New e-mail delivery for search results now available  
NEWS 10 Jun 10 MEDLINE Reload  
NEWS 11 Jun 10 PCTFULL has been reloaded  
NEWS 12 Jul 02 FOREGE no longer contains STANDARDS file segment  
NEWS 13 Jul 22 USAN to be reloaded July 28, 2002;  
saved answer sets no longer valid  
NEWS 14 Jul 29 Enhanced polymer searching in REGISTRY  
NEWS 15 Jul 30 NETFIRST to be removed from STN  
NEWS 16 Aug 08 CANCERLIT reload  
NEWS 17 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN  
NEWS 18 Aug 08 NTIS has been reloaded and enhanced  
NEWS 19 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)  
now available on STN  
NEWS 20 Aug 19 IFIPAT, IFICDB, and IFIUDB have been reloaded  
NEWS 21 Aug 19 The MEDLINE file segment of TOXCENTER has been reloaded  
NEWS 22 Aug 26 Sequence searching in REGISTRY enhanced  
NEWS 23 Sep 03 JAPIO has been reloaded and enhanced  
NEWS 24 Sep 16 Experimental properties added to the REGISTRY file  
NEWS 25 Sep 16 Indexing added to some pre-1967 records in CA/CAPLUS  
NEWS 26 Sep 16 CA Section Thesaurus available in CAPLUS and CA  
NEWS 27 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985  
NEWS 28 Oct 21 EVENTLINE has been reloaded  
NEWS 29 Oct 24 BEILSTEIN adds new search fields  
NEWS 30 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN  
NEWS 31 Oct 25 MEDLINE SDI run of October 8, 2002  
NEWS 32 Nov 18 DKILIT has been renamed APOLLIT  
NEWS 33 Nov 25 More calculated properties added to REGISTRY  
NEWS 34 Dec 02 TIBKAT will be removed from STN  
NEWS 35 Dec 04 CSA files on STN

NEWS EXPRESS October 14 CURRENT WINDOWS VERSION IS V6.01,  
CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),  
AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
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NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 09:09:53 ON 16 DEC 2002

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 09:10:00 ON 16 DEC 2002

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STRUCTURE FILE UPDATES: 15 DEC 2002 HIGHEST RN 476300-36-4

DICTIONARY FILE UPDATES: 15 DEC 2002 HIGHEST RN 476300-36-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

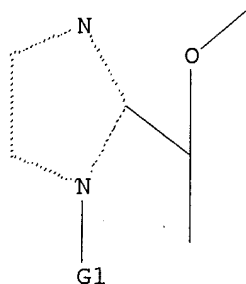
Uploading 09862808.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Cy——Ak<sup>1</sup>  
G1 H, [G1]

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 09:14:29 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 327 TO ITERATE

100.0% PROCESSED 327 ITERATIONS  
SEARCH TIME: 00.00.01

6 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 5456 TO 7624  
PROJECTED ANSWERS: 6 TO 265

L2 6 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 09:14:33 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 6459 TO ITERATE

100.0% PROCESSED 6459 ITERATIONS  
SEARCH TIME: 00.00.01

168 ANSWERS

L3 168 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

142.94

143.15

FILE 'CAPLUS' ENTERED AT 09:14:36 ON 16 DEC 2002  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 16 Dec 2002 VOL 137 ISS 25  
FILE LAST UPDATED: 15 Dec 2002 (20021215/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s 13

L4 65 L3

=> s 13/p

L5 59 L3/P

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.79	143.94

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 09:15:32 ON 16 DEC 2002  
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STRUCTURE FILE UPDATES: 15 DEC 2002 HIGHEST RN 476300-36-4  
DICTIONARY FILE UPDATES: 15 DEC 2002 HIGHEST RN 476300-36-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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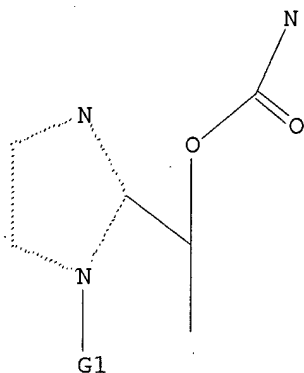
Uploading 09862808.str

L6 STRUCTURE UPLOADED

=> d

L6 HAS NO ANSWERS

L6 STR



Cy—Ak<sup>1</sup>

G1 H, [01]

Structure attributes must be viewed using STN Express query preparation.

=> s 16

SAMPLE SEARCH INITIATED 09:15:47 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 16 TO ITERATE

100.0% PROCESSED 16 ITERATIONS  
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 80 TO 560  
PROJECTED ANSWERS: 0 TO 0

L7 0 SEA SSS SAM L6

=> s 16 full

FULL SEARCH INITIATED 09:15:51 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 350 TO ITERATE

100.0% PROCESSED 350 ITERATIONS  
SEARCH TIME: 00.00.01

14 ANSWERS

L8 14 SEA SSS FUL L6

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

140.28

284.22

FILE 'CAPLUS' ENTERED AT 09:15:55 ON 16 DEC 2002

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 16 Dec 2002 VOL 137 ISS 25

FILE LAST UPDATED: 15 Dec 2002 (20021215/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s 18

L9 5 L8

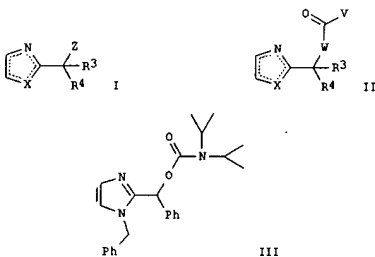
=> d ibib abs hitstr 1-5

L9 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 2001:904116 CAPLUS  
 DOCUMENT NUMBER: 136:37606  
 TITLE: Synthesis of 2-substituted azoles via multicomponent reactions.  
 INVENTOR(S): Hlaasta, Dennis  
 PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA  
 SOURCE: PCT Int. Appl., 80 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001094318	A2	20011213	WO 2001-US16727	20010522
WO 2001094318	A3	20020719		

W: AG, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, HR, NE, SN, TD, TC

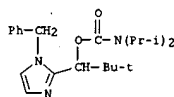
US 2002042520 A1 20020411 US 2000-209252P P 20000605  
 PRIORITY APPLN. INFO.: CASREACT 136:37606; MARPAT 136:37606  
 OTHER SOURCE(S):  
 GI



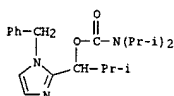
AB Title compds. [I: X = NH, NRa, S; Z = ORa, NRaRb, SR, cyano, N3, etc.; R3 = H, alkyl, (substituted) aralkyl, cycloalkyl, fluoroalkyl, COR, CO2R, etc.; R4 = alkyl, aryl, aralkyl, cycloalkyl, fluoroalkyl, alkenyl.

L9 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)  
 alkynyl, COR, etc.; Ra, Rb = H, R, CO2R, COR, SO2R, SOR, etc.; R = alkyl, (substituted) aralkyl, cycloalkyl, adamantyl, norbornyl, fluoroalkyl, heterocyclyl], were prepd. by treatment of the corresponding unsubstituted azoles with ACOV (A = F, Cl, Br, OCOCH3; V = sterically hindered group) and then with R3C(:W)R4 (W = O, NSO2R, NSOR, NCOR, NCO2R, NR; R as above) to give compds. (II; variables as above) followed by optional treatment of II with ZH (Z as above). Thus, 1-benzylimidazole in MeCN at 0.degree. was treated sequentially with diisopropylcarbonyl chloride in MeCN, PhCHO, and diisopropylethylamine followed by 24 h reflux to give 78% title compd. (III).

IT 327626-33-5P 327626-34-6P 327626-35-7P  
 327626-36-8P 327626-40-4P 327626-41-5P  
 327626-42-6P  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (synthesis of 2-substituted azoles via multicomponent reactions)  
 RN 327626-33-5 CAPLUS  
 CN Carbamic acid, bis(1-methylethyl)-, 2,2-dimethyl-1-[1-(phenylmethyl)-1H-imidazol-2-yl]propyl ester (9CI) (CA INDEX NAME)

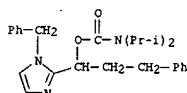


RN 327626-34-6 CAPLUS  
 CN Carbamic acid, bis(1-methylethyl)-, 2-methyl-1-[1-(phenylmethyl)-1H-imidazol-2-yl]propyl ester (9CI) (CA INDEX NAME)

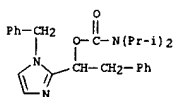


RN 327626-35-7 CAPLUS  
 CN Carbamic acid, bis(1-methylethyl)-, 3-phenyl-1-[1-(phenylmethyl)-1H-imidazol-2-yl]propyl ester (9CI) (CA INDEX NAME)

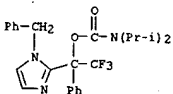
L9 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)



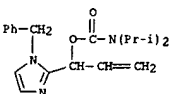
RN 327626-36-8 CAPLUS  
 CN Carbamic acid, bis(1-methylethyl)-, 2-phenyl-1-[1-(phenylmethyl)-1H-imidazol-2-yl]ethyl ester (9CI) (CA INDEX NAME)



RN 327626-40-4 CAPLUS  
 CN Carbamic acid, bis(1-methylethyl)-, 2,2,2-trifluoro-1-phenyl-1-[1-(phenylmethyl)-1H-imidazol-2-yl]ethyl ester (9CI) (CA INDEX NAME)

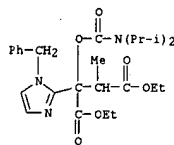


RN 327626-41-5 CAPLUS  
 CN Carbamic acid, bis(1-methylethyl)-, 1-[1-(phenylmethyl)-1H-imidazol-2-yl]-2-propenyl ester (9CI) (CA INDEX NAME)

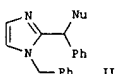
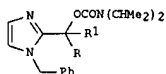


RN 327626-42-6 CAPLUS  
 CN Butanedioic acid, 2-[[[bis(1-methylethyl)amino]carbonyl]oxy]-3-methyl-2-[1-(phenylmethyl)-1H-imidazol-2-yl]-, diethyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)

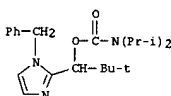


L9 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 2000:910341 CAPLUS  
 DOCUMENT NUMBER: 134:193376  
 TITLE: Novel use of imidazolium ylides in an efficient synthesis of 2-substituted imidazoles  
 AUTHOR(S): Hlasta, Dennis J.  
 CORPORATE SOURCE: Drug Discovery The R.W. Johnson Pharmaceutical Research Institute, Spring House, PA, 19477-0776, USA  
 SOURCE: Organic Letters (2001), 3(2), 157-159  
 CODEN: ORLEF7; ISSN: 1523-7060  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 134:193376  
 GI



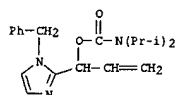
AB A new reaction of imidazoles was discovered involving the formation of an imidazolium ylide, which on trapping with various electrophiles afforded diverse 2-substituted imidazoles I (R = Me, R1 = H; R = Ph, R1 = H; R = Ph, R1 = CF3; etc.). The facile, convenient reaction conditions when compared to the existing procedures make this reaction the method of choice in the prepn. of 2-substituted imidazoles. Moreover, the reaction differs from the reported methods since the products contain an .alpha.-substituent that is transformed by solvolysis chem. into further functionalized derivs. II (Nu = H, MeO, EtO, etc.).

IT 327626-33-5P 327626-34-6P 327626-35-7P  
 327626-36-8P 327626-40-4P 327626-41-5P  
 327626-42-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of imidazole derivs. by alkylation of imidazolium ylides)  
 RN 327626-33-5 CAPLUS  
 CN Carbanic acid, bis(1-methylethyl)-, 2,2-dimethyl-1-[1-(phenylmethyl)-1H-imidazol-2-yl]propyl ester (9CI) (CA INDEX NAME)

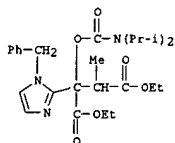


RN 327626-34-6 CAPLUS  
 CN Carbanic acid, bis(1-methylethyl)-, 2-methyl-1-[1-(phenylmethyl)-1H-imidazol-2-yl]propyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)

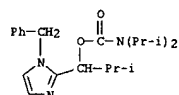


RN 327626-42-6 CAPLUS  
 CN Butanedioic acid, 2-[[[bis(1-methylethyl)amino]carbonyl]oxy]-3-methyl-2-[1-(phenylmethyl)-1H-imidazol-2-yl]-, diethyl ester (9CI) (CA INDEX NAME)

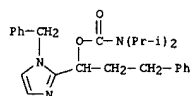


REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

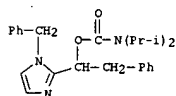
L9 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)



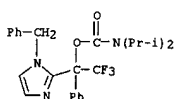
RN 327626-35-7 CAPLUS  
 CN Carbanic acid, bis(1-methylethyl)-, 3-phenyl-1-[1-(phenylmethyl)-1H-imidazol-2-yl]propyl ester (9CI) (CA INDEX NAME)



RN 327626-36-8 CAPLUS  
 CN Carbanic acid, bis(1-methylethyl)-, 2-phenyl-1-[1-(phenylmethyl)-1H-imidazol-2-yl]ethyl ester (9CI) (CA INDEX NAME)



RN 327626-40-4 CAPLUS  
 CN Carbanic acid, bis(1-methylethyl)-, 2,2,2-trifluoro-1-phenyl-1-[1-(phenylmethyl)-1H-imidazol-2-yl]ethyl ester (9CI) (CA INDEX NAME)

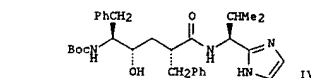
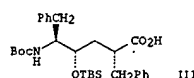
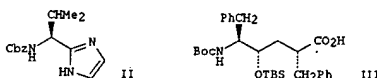
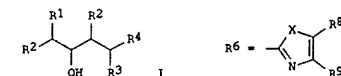


RN 327626-41-5 CAPLUS  
 CN Carbanic acid, bis(1-methylethyl)-, 1-[1-(phenylmethyl)-1H-imidazol-2-yl]-2-propenyl ester (9CI) (CA INDEX NAME)

L9 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:207292 CAPLUS  
 DOCUMENT NUMBER: 128:270871  
 TITLE: Preparation of azolyl dipeptide analogs as retroviral protease inhibitors  
 INVENTOR(S): Carr, Thomas Joseph; Demarsh, Peter Lawrence; Dreyer, Geoffrey Bainbridge; Fenwick, Ashley Edward  
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA  
 SOURCE: U.S., 42 pp., Cont. of U.S. Ser. No. 193.026, abandoned  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5733882	A	19980331	US 1995-396356	19950228
PRIORITY APPLN. INFO.:			US 1994-193026	19940117
OTHER SOURCE(S):		MARPAT 128:270871		



AB The present invention provides compds., more particularly dipeptide analogs I [R1, R3 = independently (un)substituted Q, Q-C1-6 alkyl, Q-C2-6 alkenyl, Q-C2-6 alkynyl, C1-6 alkyl substituted by 1-5 F atoms; Q = H, C3-6 cycloalkyl, C5-6 cycloalkenyl, aryl, heterocyclyl; R2 = H, OH; R4 = R6NR11, CONR11CH2R6R7; R5 = R6NR11, R10NR11; X = NR11, O, S; R7 = Q, Q-C1-6 alkyl, Q-C2-6 alkenyl; R8, R9 = independently H, OH, halo, NO2, acyl, CF3, aryl, etc.; R8R9 = fused C2-4 alkylene, aryl, heterocyclyl; R10 = A-(B)n; R11 = H, C1-4 alkyl; B = amino acid; A = H, (un)substituted aryl, heterocyclyl, aryl-W, heterocyclyl-W, phthaloyl, etc.; W = CO, C2C, NR11CO, SCO, NR11CS, SO2, NR11SO2, P(O)(OR22); R22 = H, C1-6 alkyl, Ph, phenyl-C1-4 alkyl; with provisos], or a pharmaceutically acceptable salt



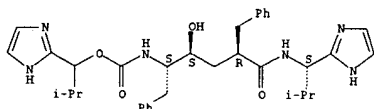
L9 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)  
 thereof, which bind to retroviral proteases. These compds. are inhibitors of retroviral proteases and are useful for treating diseases related to infection by retroviruses. Thus, cyclocondensation of protected valinal 2-Val-H (Z = PhCH<sub>2</sub>O<sub>2</sub>C) with ammonia and glyoxal gave imidazole II. Deprotection of II, followed by coupling with dipeptide isostere III, and final desilylation gave desired title compd. IV as its HCl salt. The prepd. compds., including IV, showed inhibition of HIV-1 protease with KI = 1 nM to 5 .mu.M, and inhibited infection of cells with the HIV virus with IC<sub>50</sub> = 0.1 to 10 .mu.M.

IT 205595-86-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of azolyl dipeptide analogs as retroviral protease inhibitors)

RN 205595-86-4 CAPLUS

CN Carbamic acid, [2-hydroxy-5-[[1-(1H-imidazol-2-yl)-2-methylpropyl]amino]-5-oxo-1,4-bis(phenylmethyl)pentyl]-, 1-[(1H-imidazol-2-yl)-2-methylpropyl ester, [1S-[1R\*,2R\*,4S\*,5(R\*)]]-[partial]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1993:517245 CAPLUS  
 DOCUMENT NUMBER: 119:117245  
 TITLE: Preparation of N-imidazolylalkyl-5-amino-4-hydroxyhexanamides and analogs as retroviral protease inhibitors  
 INVENTOR(S): Carr, Thomas Joseph; DeMarsh, Peter Lawrence; Penwick, Ashley Edward  
 PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA  
 SOURCE: PCT Int. Appl., 146 pp.  
 CODEN: PIAXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

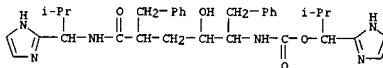
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9302057	A1	19930204	WO 1992-US6047	19920717
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KR, LU, NL, NO, PL, RO, RU, SE, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9224129	A1	19930223	AU 1992-24129	19920717
CN 1071434	A	19930428	CN 1992-109761	19920717
ZA 9205360	A	19930614	ZA 1992-5360	19920717
EP 602069	A1	19940622	EP 1992-917238	19920717
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 07500577	T2	19950119	JP 1992-503016	19920717
ES 2068739	B1	19951101	ES 1993-107	19930121
ES 2068739	A1	19950416		
PRIORITY APPLN. INFO.:			US 1991-731563	19910717
			US 1992-870975	19920420
			WO 1992-US6047	19920717

OTHER SOURCE(S): MARPAT 119:117245  
 AB RSCHRIC(H)CHR2CHR3R4 [I: R1, R3 = fluoroalkyl, cycloalk(en)yl(alkyl), aryl(alkyl), heterocyclyl(alkyl), etc.; R2 = H, OH; R4 = azolylamino, N-(azolylalkyl)carbamoyl; R5 = substituted amino] were prepd. Thus, Me2CHCHNHNH2 (R = imidazol-2-yl) (prepn. given) was condensed with (2R, 4S, 5S)-PhCH2CH(NHCO2CMe3)CH(OR6)CH2CH(CH2Ph)COR7 (II; R6 = SiMe2CMe3, R7 = OH) to give, after deprotection, I (R6 = H, R7 = NHCH2CHMe2, R = imidazol-2-yl). I had KI of 1 nM to 5 .mu.M for inhibition of HIV-1 protease.

IT 149356-57-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as retroviral protease inhibitor)

RN 149356-57-0 CAPLUS

CN Carbamic acid, [2-hydroxy-5-[[1-(1H-imidazol-2-yl)-2-methylpropyl]amino]-5-oxo-1,4-bis(phenylmethyl)pentyl]-, 1-[(1H-imidazol-2-yl)-2-methylpropyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)

L9 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1968:78285 CAPLUS  
 DOCUMENT NUMBER: 68:78285  
 TITLE: Carbamates of 2-(hydroxyalkyl)benzimidazoles  
 INVENTOR(S): Bywater, William G.; Brown, Bernard Beau; Clegg, John M.  
 PATENT ASSIGNEE(S): Penick, S. B., and Co.  
 SOURCE: U.S., 3 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

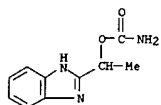
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3318889		19670509	US	19631014

GI For diagram(s), see printed CA Issue.

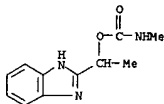
AB The title compds. (I) are prepd. by treatment of an o-phenylenediamine with an appropriate hydroxy carboxylic acid, and conversion of the hydroxyalkylbenzimidazole thus formed to the carbamate by standard methods. Thus, a mixt. of 0.5 mole 4,5-dichloro-o-phenylenediamine and 0.75 mole glycolic acid was refluxed for 4-6 hrs. in a soln. of 400 ml. concd. HCl and 400 ml. H<sub>2</sub>O to yield 82.3% 5,6-dichloro-2-hydroxymethylbenzimidazole (II), m. 270-1.degree. (decompn.) (MeOH). II (0.03 mole) was dissolved in 75 ml. dry pyridine at 70.degree., the soln. cooled to 40.degree. with stirring, 0.0475 mole Me isocyanate added, and the mixt. kept at 70.degree. for 30 min. to give 46% 5,6-dichloro-2-(N-methylcarbamoyloxymethyl)benzimidazole (III), m. 225.degree. (decompn.). Alternatively, 0.06 mole Me<sub>2</sub>NCOC<sub>2</sub>H<sub>5</sub> was added to 0.05 mole II dissolved in 150 ml. dry pyridine and the mixt. refluxed for 4 hrs. to give 45% 4,5-dichloro-2-(N,N-dimethylcarbamoyloxymethyl)benzimidazole (IV), m. 176-8.degree.. In another method, a mixt. consisting of 0.5 mole 2-(alpha-hydroxyethyl)benzimidazole, 0.55 mole urethane, and a catalytic amt. of (iso-PrO)3Al was refluxed in toluene for 32 hrs. during which time 5 addnl. 2-3-g. portions of (iso-PrO)3Al were added to give 11.5 g. 2-(alpha-carbamoyloxymethyl)benzimidazole (V), m. 207-7.5.degree.. Other I prepd. by these methods were: 5,6-dichloro-2-(beta-N-methylcarbamoyloxymethyl)benzimidazole, m. 147-53.degree. (decompn.); 5,6-dichloro-2-(gamma-N-methylcarbamoyloxymethyl)benzimidazole, m. 178-80.degree.; 5,6-dichloro-2-(N-methylcarbamoyloxymethyl)benzimidazole (VI), m. 225-7.degree.; 5,6-dichloro-2-(alpha-N-methylcarbamoyloxymethyl)benzimidazole (VII), m. 157-8.degree. (decompn.); 5,6-dichloro-2-(alpha-N-methylcarbamoyloxymethyl)benzimidazole, m. 171-3.degree.; 2-(N-methylcarbamoyloxymethyl)benzimidazole, m. 120-1.degree.; 2-(alpha-N-methylcarbamoyloxymethyl)benzimidazole, m. 110-11.degree.; 5(6)-chloro-2-(N-methylcarbamoyloxymethyl)benzimidazole, m. 99-100.degree.; 5(6)-chloro-2-(alpha-N-methylcarbamoyloxymethyl)benzimidazole, m. 203-5.degree.; 5(6)-nitro-2-(N-methylcarbamoyloxymethyl)benzimidazole, m. 199-201.degree.. Quaternizations of I were carried out as follows. Equimolar amts. of IV and 4-amino-5-bromomethyl-2-propylpyrimidine dihydrobromide (VIII) were dissolved in MeOH and an equal vol. of MeCN was added to give 50% 3-(4-amino-2-propyl-5-pyrimidinylmethyl)-5,6-dichloro-2-(N,N-dimethylcarbamoyloxymethyl)benzimidazolium bromide dihydrobromide, m. 270.degree. (charing). Quaternary salts were prepd. from VIII and the following I: V, VI, m. 170-5.degree. (decompn.) and VII. I are active against cecal coccidiosis in poultry and accelerate or retard certain sleep-inducing drugs.

IT 17577-51-4P 17577-50-1P 20250-42-6P

L9 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)  
 28724-58-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 17577-51-4 CAPLUS  
 CN 2-Benzimidazolemethanol, .alpha.-methyl-, carbamate (ester) (8CI) (CA INDEX NAME)

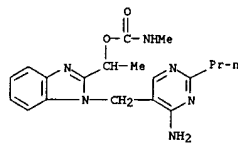


RN 17577-58-1 CAPLUS  
 CN Carbamic acid, methyl-, 1-(2-benzimidazolyl)ethyl ester (8CI) (CA INDEX NAME)



RN 28258-42-6 CAPLUS  
 CN Carbamic acid, methyl-, 1-[1-[(4-amino-2-propyl-5-pyrimidinyl)methyl]-5(or 6)-chloro-2-benzimidazolyl]ethyl ester, trihydrobromide (8CI) (CA INDEX NAME)

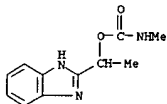
L9 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2002 ACS (Continued)



D1-C1

●3 HBr

RN 28724-58-5 CAPLUS  
 CN Carbamic acid, methyl-, 1-(5-chloro-2-benzimidazolyl)ethyl ester (8CI) (CA INDEX NAME)



D1-C1

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L10 STRUCTURE UPLOADED

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	22.74	306.96
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
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CA SUBSCRIBER PRICE	-3.10	-3.10

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STRUCTURE FILE UPDATES: 15 DEC 2002 HIGHEST RN 476300-36-4  
DICTIONARY FILE UPDATES: 15 DEC 2002 HIGHEST RN 476300-36-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

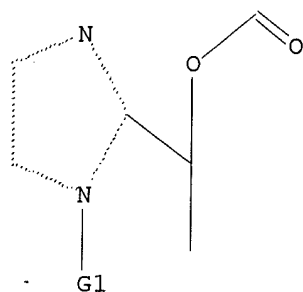
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP  
PROPERTIES for more information. See STNote 27, Searching Properties  
in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> d

L10 HAS NO ANSWERS

L10 STR



Cy——Ak<sup>1</sup>

G1 H, [01]

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 104 TO ITERATE

100.0% PROCESSED 104 ITERATIONS  
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1469 TO 2691  
PROJECTED ANSWERS: 1 TO 80

L11 1 SEA SSS SAM L10

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FULL SCREEN SEARCH COMPLETED - 1844 TO ITERATE

100.0% PROCESSED 1844 ITERATIONS  
SEARCH TIME: 00.00.01

62 ANSWERS

L12 62 SEA SSS FUL L10

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

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CA SUBSCRIBER PRICE	0.00	-3.10

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FILE COVERS 1907 - 16 Dec 2002 VOL 137 ISS 25  
FILE LAST UPDATED: 15 Dec 2002 (20021215/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

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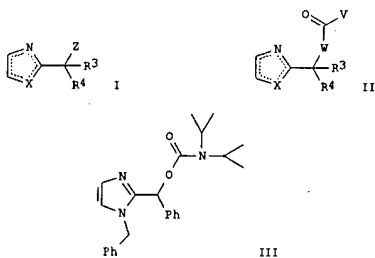
L13            26 L12

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L13 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 2001:904116 CAPLUS  
 DOCUMENT NUMBER: 136:37606  
 TITLE: Synthesis of 2-substituted azoles via multicomponent reactions.  
 INVENTOR(S): Hlasta, Dennis  
 PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA  
 SOURCE: PCT Int. Appl., 80 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001094318	A2	20011213	WO 2001-US16727	20010522
WO 2001094318	A3	20020718		

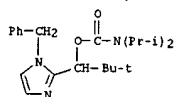
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 US 2002042520 A1 20020411 US 2001-862808 20010522  
 PRIORITY APPLN. INFO.: US 2000-209252P P 20000605  
 OTHER SOURCE(S): CASREACT 136:37606; MARPAT 136:37606  
 GI



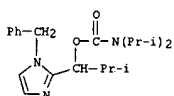
AB Title compds. [I; X = NH, NRA, S; Z = ORa, NRaRb, SR, cyano, N3, etc.; R3 = H, alkyl, (substituted) aralkyl, cycloalkyl, fluoroalkyl, COR, CO2R, etc.; R4 = alkyl, aryl, aralkyl, cycloalkyl, fluoroalkyl, alkenyl,

L13 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)  
 alkynyl, COR, etc.; Ra, Rb = H, R, CO2R, COR, SO2R, SOR, etc.; R = alkyl, (substituted) aralkyl, cycloalkyl, adamantyl, norbornyl, fluoroalkyl, heterocyclyl], were prepd. by treatment of the corresponding unsubstituted azoles with ACOV (A = F, Cl, Br, OCOCH3; V = sterically hindered group) and then with R3C(:W)R4 (W = O, NSO2R, NSOR, NCO2R, NR; R as above) to give compds. (II; variables as above) followed by optional treatment of II with ZH (Z as above). Thus, 1-benzylimidazole in MeCN at 0.degree. was treated sequentially with diisopropylcarbonyl chloride in MeCN, PhCHO, and diisopropylethylamine followed by 24 h reflux to give 78% title compd. (III).

IT 327626-33-5P 327626-34-6P 327626-35-7P  
 327626-36-8P 327626-40-4P 327626-41-5P  
 327626-42-6P  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (synthesis of 2-substituted azoles via multicomponent reactions)  
 RN 327626-33-5 CAPLUS  
 CN Carbamic acid, bis(1-methylethyl)-, 2,2-dimethyl-1-[1-(phenylmethyl)-1H-imidazol-2-yl]propyl ester (9CI) (CA INDEX NAME)

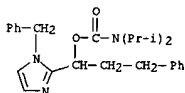


RN 327626-34-6 CAPLUS  
 CN Carbamic acid, bis(1-methylethyl)-, 2-methyl-1-[1-(phenylmethyl)-1H-imidazol-2-yl]propyl ester (9CI) (CA INDEX NAME)

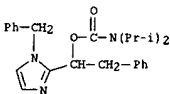


RN 327626-35-7 CAPLUS  
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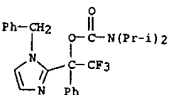
L13 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)



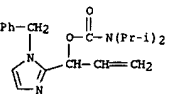
RN 327626-36-8 CAPLUS  
 CN Carbamic acid, bis(1-methylethyl)-, 2-phenyl-1-[1-(phenylmethyl)-1H-imidazol-2-yl]ethyl ester (9CI) (CA INDEX NAME)



RN 327626-40-4 CAPLUS  
 CN Carbamic acid, bis(1-methylethyl)-, 2,2,2-trifluoro-1-phenyl-1-[1-(phenylmethyl)-1H-imidazol-2-yl]ethyl ester (9CI) (CA INDEX NAME)

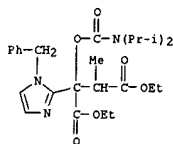


RN 327626-41-5 CAPLUS  
 CN Carbamic acid, bis(1-methylethyl)-, 1-[1-(phenylmethyl)-1H-imidazol-2-yl]-2-propenyl ester (9CI) (CA INDEX NAME)



RN 327626-42-6 CAPLUS  
 CN Butanedioic acid, 2-[[[bis(1-methylethyl)amino]carbonyl]oxy]-3-methyl-2-[1-(phenylmethyl)-1H-imidazol-2-yl]-, diethyl ester (9CI) (CA INDEX NAME)

L13 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)



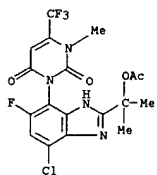
AB The title compds. [1, A, B = (un)substituted, C, (un)substituted NH, O; R = H, OH, SH, etc.; X = H, F, Cl, etc.; n = 0-3; J = II-VII (wherein R3 = H, alkyl, haloalkyl, etc.)], useful in controlling weeds, were prep. Thus, heating at reflux 1-methyl-6-trifluoromethyl-3-(6-amino-4-bromo-2-fluoro-5-hydroxyphenyl)-2,4(1H,3H)-pyrimidinedione with carbonylimidazole in THF followed by reaction of the resulting 1-methyl-3-trifluoromethyl-3-(7-bromo-5-fluorobenzoxazol-2-on-4-yl)-2,4(1H,3H)-pyrimidinedione with MeI in the presence of Ag2O in CH2Cl2 afforded VIII which showed 100% control against, e.g., velvetleaf and blackgrass.

IT 212754-08-0P 212754-56-0P

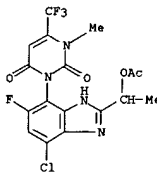
RL AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological studies, unspecified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of cyclobimol-substituted benzofused heterocyclic herbicides)

212754-08-0 CAPLUS

L13 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)  
 CN 2,4(1H,3H)-Pyrimidinedione, 3-[2-[1-(acetyloxy)-1-methylethyl]-7-chloro-5-fluoro-1H-benzimidazol-4-yl]-1-methyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

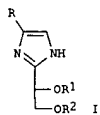


RN 212754-56-8 CAPLUS  
 CN 2,4(1H,3H)-Pyrimidinedione, 3-[2-[1-(acetyloxy)ethyl]-7-chloro-5-fluoro-1H-benzimidazol-4-yl]-1-methyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



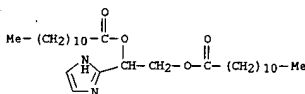
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1998:408105 CAPLUS  
 DOCUMENT NUMBER: 129:175592  
 TITLE: Synthesis of 1-(1H-imidazol-2-yl)ethane-1,2-diol derivatives. A novel class of protein kinase C inhibitors  
 AUTHOR(S): Roehrl, Andreas N.; Schmidhammer, Helmut  
 CORPORATE SOURCE: Inst. Pharmaceutical Chem., Univ. Innsbruck, Innsbruck, A-6020, Austria  
 SOURCE: Helvetica Chimica Acta (1998), 81(6), 1070-1076  
 CODEN: HCACAV; ISSN: 0018-019X  
 PUBLISHER: Verlag Helvetica Chimica Acta AG  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 129:175592  
 GI



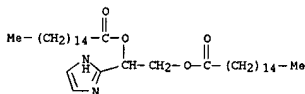
AB The title compds. I (R = H, Me; R1, R2 = Ac, dodecanoyl, hexadecanoyl, Me) were prep. starting from appropriate 1-(triphenylmethyl)-protected 1H-imidazoles by lithiation with BuLi in THF followed by reaction with Ph3COCH2CHO, O-methylation, cleavage of N- and O-trityl protecting groups, and finally acylation. I (R = H, Me; R1 = R2 = dodecanoyl, hexadecanoyl) exhibited moderate protein kinase C inhibition.

IT 211488-57-2P 211488-58-3P 211488-60-7P  
 211488-61-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. of acylated (imidazolyl)ethanediols as protein kinase C inhibitors)  
 RN 211488-57-2 CAPLUS  
 CN Dodecanoic acid, 1-(1H-imidazol-2-yl)-1,2-ethanediyl ester (9CI) (CA INDEX NAME)

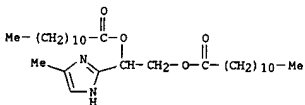


RN 211488-58-3 CAPLUS

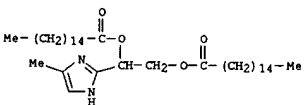
L13 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)  
 CN Hexadecanoic acid, 1-(1H-imidazol-2-yl)-1,2-ethanediyl ester (9CI) (CA INDEX NAME)



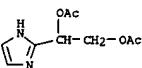
RN 211488-60-7 CAPLUS  
 CN Dodecanoic acid, 1-(4-methyl-1H-imidazol-2-yl)-1,2-ethanediyl ester (9CI) (CA INDEX NAME)



RN 211488-61-8 CAPLUS  
 CN Hexadecanoic acid, 1-(4-methyl-1H-imidazol-2-yl)-1,2-ethanediyl ester (9CI) (CA INDEX NAME)

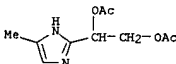


IT 211488-56-1P 211488-59-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of acylated (imidazolyl)ethanediols as protein kinase C inhibitors)  
 RN 211488-56-1 CAPLUS  
 CN 1,2-Ethanediol, 1-(1H-imidazol-2-yl)-, diacetate (ester) (9CI) (CA INDEX NAME)



RN 211488-59-4 CAPLUS  
 CN 1,2-Ethanediol, 1-(4-methyl-1H-imidazol-2-yl)-, diacetate (ester) (9CI) (CA INDEX NAME)

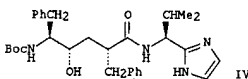
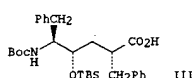
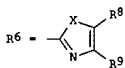
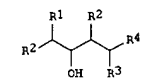
L13 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)





L13 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1998:207292 CAPLUS  
 DOCUMENT NUMBER: 128:270871  
 TITLE: Preparation of azolyl dipeptide analogs as retroviral protease inhibitors  
 INVENTOR(S): Carr, Thomas Joseph; Demarsh, Peter Lawrence; Dreyer, Geoffrey Bainbridge; Fenwick, Ashley Edward  
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA  
 SOURCE: U.S., 42 pp., Cont. of U.S. Ser. No. 193.026, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

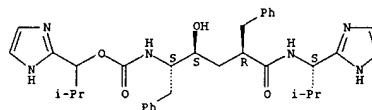
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5733882	A	19980331	US 1995-396356	19950228
PRIORITY APPLN. INFO.:			US 1994-193026	19940117
OTHER SOURCE(S):		MARPAT 128:270871		



AB The present invention provides compds., more particularly dipeptide analogs I [R1, R3 = independently (un)substituted Q, Q-C1-6 alkyl, Q-C2-6 alkenyl, Q-C2-6 alkynyl, C1-6 alkyl substituted by 1-5 F atoms; Q = H, C3-6 cycloalkyl, C5-6 cycloalkenyl, aryl, heterocyclyl; R2 = H, OH; R4 = R6NR11, CONR11CH2R6R7; R5 = R6NR11, R10NR11; X = NR11, O, S; R7 = Q, Q-C1-6 alkyl, Q-C2-6 alkenyl; R8, R9 = independently H, OH, halo, NO2, acyl, CFX, aryl, etc.; R8R9 = fused C2-4 alkylene, aryl, heterocyclyl; R10 = A-(B)n; R11 = H, C1-4 alkyl; B = amino acid; A = H, (un)substituted aryl, heterocyclyl, aryl-W, heterocyclyl-W, phthaloyl, etc.; W = CO, O2C,

L13 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)  
 NR11CO, SCO, NR11CS, SO2, NR11SO2, P(O)(OR22); R22 = H, C1-6 alkyl, Ph, phenyl-C1-4 alkyl with proviso(s), or a pharmaceutically acceptable salt thereof, which bind to retroviral proteases. These compds. are inhibitors of retroviral proteases and are useful for treating diseases related to infection by retroviruses. Thus, cyclocondensation of protected valinal 2-Val-H (Z = PhCH2O2C) with ammonia and glyoxal gave imidazole II. Deprotection of II, followed by coupling with dipeptide isostere III, and final desilylation gave desired title compd. IV as its HCl salt. The prepd. compds., including IV, showed inhibition of HIV-1 protease with Ki = 1 nM to 5 .mu.M, and inhibited infection of cells with the HIV virus with IC50 = 0.1 to 10 .mu.M.  
 IT 205595-86-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of azolyl dipeptide analogs as retroviral protease inhibitors)  
 RN 205595-86-4 CAPLUS  
 CN Carbanic acid, [2-hydroxy-5-[[1-(1H-imidazol-2-yl)-2-methylpropyl]amino]-5-oxo-1,4-bis(phenylmethyl)pentyl]-, 1-(1H-imidazol-2-yl)-2-methylpropyl ester, [1S-[1R\*,2R\*,4S\*,5(R\*)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

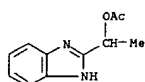


L13 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1996:652011 CAPLUS  
 DOCUMENT NUMBER: 125:328605  
 TITLE: 2-Alkyl substituted benzimidazole derivatives and their antifungal activities  
 AUTHOR(S): Gunes, H. Semih; Cosar, Guner  
 CORPORATE SOURCE: Faculty of Pharmacy, Ege University, Izmir, 35100, Turk.  
 SOURCE: Journal of Faculty of Pharmacy of Gazi University (1996), 13(1), 57-64  
 CODEN: JFPU3; ISSN: 1015-9592  
 PUBLISHER: Gazi Universitesi, Eczacilik Fakultesi Dekanligi  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB Different chem. procedures have been used for the synthesis of nine benzimidazole derivs. The compds. were tested for antifungal activity by the agar diln. method, using yeast-like fungi (Candida albicans 5405-11, Candida tropicalis 5401-11, Candida pseudotropicalis 164-12, Candida parapsilosis 768-2, Torulopsis glabrata 1434-1). 2-Methyl-1H-benzimidazole, 2-hydroxymethyl-1H-benzimidazole, 2-(1-hydroxyethyl)-1H-benzimidazole, 2-(1-acetoxyethyl)-1H-benzimidazole, and 2-(1H-benzimidazol-2-yl)acetone nitrile showed considerable activity against Candida tropicalis and weak activity against Torulopsis glabrata. QSAR studies have been performed using log P and log 1/C values.

IT 183244-70-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. and antifungal activity of benzimidazoles)

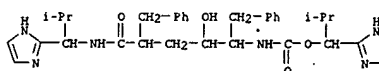
RN 183244-70-4 CAPLUS  
 CN 1H-Benzimidazole-2-methanol, .alpha.-methyl-, acetate (ester) (9CI) (CA INDEX NAME)



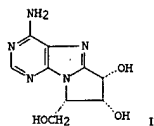
L13 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1993:517245 CAPLUS  
 DOCUMENT NUMBER: 119:17245  
 TITLE: Preparation of N-imidazolylalkyl-5-amino-4-hydroxyhexanamides and analogs as retroviral protease inhibitors  
 INVENTOR(S): Carr, Thomas Joseph; DeMarsh, Peter Lawrence; Penwick, Ashley Edward  
 PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA  
 SOURCE: PCT Int. Appl., 146 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9302057	A1	19930204	WO 1992-US6047	19920717
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KR, LU, NL, NO, PL, RO, RU, SE, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9224129	A1	19930223	AU 1992-24129	19920717
CN 1071434	A	19930428	CN 1992-109761	19920717
ZA 9205360	A	19930614	ZA 1992-5360	19920717
EP 602069	A1	19940622	EP 1992-917238	19920717
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 07500577	T2	19950119	JP 1992-503016	19920717
ES 2068739	B1	19951101	ES 1993-107	19930121
ES 2068739	A1	19950416		
PRIORITY APPLN. INFO.:			US 1991-731563	19910717
			US 1992-870975	19920420
			WO 1992-US6047	19920717

OTHER SOURCE(S): MARPAT 119:17245  
 AB R5CHR1CH(OH)CHR2CHR3R4 [I; R1, R3 = fluoroalkyl, cycloalk(en)yl(alkyl), aryl(alkyl), heterocyclyl(alkyl), etc.; R2 = H, OH; R4 = azolylamino, N-(azolylalkyl)carbamoyl; R5 = substituted amino] were prepd. Thus, MeCH2CH(RNH2) (R = imidazol-2-yl) (prepn. given) was condensed with (2R, 4S, 5S)-PhCH2CH(NHCO2CMe3)CH(OR6)CH2CH(CH2Ph)COR7 (II; R6 = SiMe2CMe3, R7 = OH) to give, after deprotection, II (R6 = H, R7 = NHCHRCMe2, R = imidazol-2-yl). I had Ki of 1 nM to 5 .mu.M for inhibition of HIV-1 protease.  
 IT 149356-57-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as retroviral protease inhibitor)  
 RN 149356-57-0 CAPLUS  
 CN Carbanic acid, [2-hydroxy-5-[[1-(1H-imidazol-2-yl)-2-methylpropyl]amino]-5-oxo-1,4-bis(phenylmethyl)pentyl]-, 1-(1H-imidazol-2-yl)-2-methylpropyl ester (9CI) (CA INDEX NAME)



L13 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1992:152273 CAPLUS  
 DOCUMENT NUMBER: 116:152273  
 TITLE: Synthesis of a contiguous tricyclic purine system:  
 entry to unique nucleosides  
 AUTHOR(S): Nair, Vasu; Purdy, David F.  
 CORPORATE SOURCE: Dep. Chem., Univ. Iowa, Iowa City, IA, 52242, USA  
 SOURCE: Tetrahedron Letters (1991), 32(51), 7503-6  
 CODEN: TELEAY; ISSN: 0040-4039  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

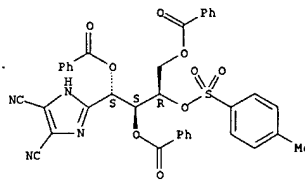


AB A unique class of hypermodified purine nucleosides, e.g., I, where the carbohydrate moiety is fused to the imidazole ring, has been designed and synthesized regioselectively and stereospecifically using a multi-step approach starting from 1-O-acetyl-2,3,5-tri-O-benzoyl-β-D-ribofuranose and Me<sub>3</sub>SiNHCN(CN):C(N)NHSiMe<sub>3</sub>.

IT 139545-87-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and intramol. cyclocondensation of)  
 RN 139545-87-2 CAPLUS  
 CN 1H-Imidazole-4,5-dicarbonitrile, 2-[1,2,4-tris(benzoyloxy)-3-[(4-methylphenyl)sulfonyloxy]butyl]-, [1S-(1R\*,2R\*,3S\*)]- (9CI) (CA INDEX NAME)

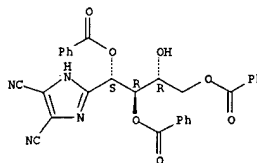
Absolute stereochemistry.

L13 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)

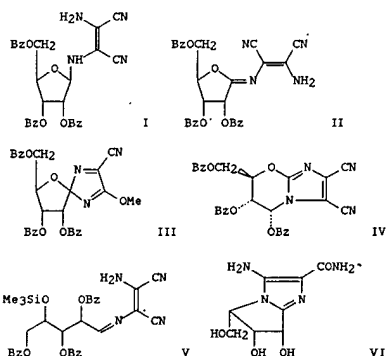


IT 101946-17-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and tosylation of)  
 RN 101946-17-2 CAPLUS  
 CN 1H-Imidazole-4,5-dicarbonitrile, 2-[1,2,4-tris(benzoyloxy)-3-hydroxybutyl]-, [1S-(1R\*,2S\*,3S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1987:459396 CAPLUS  
 DOCUMENT NUMBER: 107:59396  
 TITLE: Spiro and bicyclic nucleosides. Preparation of new structural types from ribose adducts of diaminomaleonitrile  
 AUTHOR(S): Ferris, James P.; Devadas, Balekudru  
 CORPORATE SOURCE: Dep. Chem., Rensselaer Polytech. Inst., Troy, NY, 12180-3590, USA  
 SOURCE: Journal of Organic Chemistry (1987), 52(12), 2355-61  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 107:59396  
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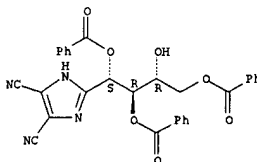


AB Three new nucleoside structural types were prepd. from ribose adducts of diaminomaleonitrile. Thus, oxidn. of adduct I with DDQ in MeCN and with DDQ in MeOH gave iminolactone II and spiro deriv. III, resp. Treatment of II with N-bromosuccinimide gave imidazoquinone IV. The acyclic adduct V was converted to pyrroloimidazole VI in 6 steps.

IT 101946-17-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and reactions of)  
 RN 101946-17-2 CAPLUS  
 CN 1H-Imidazole-4,5-dicarbonitrile, 2-[1,2,4-tris(benzoyloxy)-3-hydroxybutyl]-, [1S-(1R\*,2S\*,3S\*)]- (9CI) (CA INDEX NAME)

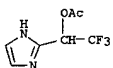
Absolute stereochemistry.

L13 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)

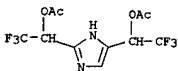


L13 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1986:626441 CAPLUS  
 DOCUMENT NUMBER: 105:226441  
 TITLE: Thermal condensation of imidazole with trifluoroacetaldehyde  
 AUTHOR(S): Fujii, Shozo; Maki, Yasuo; Kimoto, Hiroshi; Cohen, Louis A.  
 CORPORATE SOURCE: Gov. Ind. Res. Inst., Nagoya, 462, Japan  
 SOURCE: Journal of Fluorine Chemistry (1986), 30(4), 415-28  
 CODEN: JFLCAR; ISSN: 0022-1139  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 105:226441  
 AB The condensation of imidazole with CF<sub>3</sub>CH(OH)OMe occurred readily at reflux to give 4-(1-hydroxy-2,2,2-trifluoroethyl)imidazole (37.3%), 2-(1-hydroxy-2,2,2-trifluoroethyl)imidazole (8.8%), 2,4-bis(1-hydroxy-2,2,2-trifluoroethyl)imidazole (7.2%), and 4,5-bis-product (0.4%). (Trifluoroacetyl)imidazoles were prepd. by oxidn. of these condensation products. Nitration and bromination of the condensation products gave the corresponding nitro- and bromimidazoles, resp.  
 IT 105480-25-9P 105480-26-0P 105480-38-4P  
 RL: SPN (Synthetic preparation); PREF (Preparation) (prepn. of)  
 RN 105480-25-9 CAPLUS  
 CN 1H-imidazole-2-methanol, .alpha.-(trifluoromethyl)-, acetate (ester) (9CI) (CA INDEX NAME)



RN 105480-26-0 CAPLUS  
 CN 1H-imidazole-2,4-dimethanol, .alpha...alpha.'-bis(trifluoromethyl)-, diacetate (ester), (R\*,R\*)- (9CI) (CA INDEX NAME)



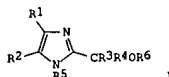
RN 105480-38-4 CAPLUS  
 CN 1H-imidazole-2,4-dimethanol, .alpha...alpha.'-bis(trifluoromethyl)-, diacetate (ester), (R\*,S\*)- (9CI) (CA INDEX NAME)

L13 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1986:442804 CAPLUS  
 DOCUMENT NUMBER: 105:42804  
 TITLE: Antihypertensive 4,5-diaryl-1H-imidazole-2-methanol derivatives  
 INVENTOR(S): Wesler, Ruth R.  
 PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA  
 SOURCE: U.S. 5 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4576958	A	19860318	US 1984-573214	19840123

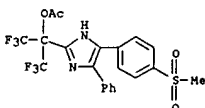
OTHER SOURCE(S): CASREACT 105:42804  
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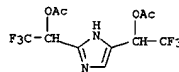
AB The antihypertensive title compds. I (R1, R2 = 3-pyridyl, 2-thienyl, (un)substituted Ph; R3, R4 = H, Cl-3 alkyl, cyclopropyl, CF3, CHF2, etc.; R5, R6 = H, Cl-3 alkyl, R7CO, CO2R7, R7 = Cl-2 alkyl) and their salts, were prepd. Thus, I (R1 = Ph; R2 = 4-MeSC6H4; R3 = R4 = CF3; R5, R6 = H) prepd. in 6 steps from Friedel-Crafts acylation of PhSMe with PhCH2COCl, was oxidized with Oxone in MeOH to give I (R1 = Ph; R2 = MeSO2C6H4; R3 = R4 = CF3; R5, R6 = H) (II). The antihypertensive activity of II was demonstrated in normotensive unanesthetized dogs.

IT 103090-02-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses) (prepn. of, as antihypertensive)

RN 103090-02-4 CAPLUS  
 CN 1H-imidazole-2-methanol, 4-[4-(methylsulfonyl)phenyl]-5-phenyl-.alpha...alpha.-bis(trifluoromethyl)-, acetate (ester) (9CI) (CA INDEX NAME)

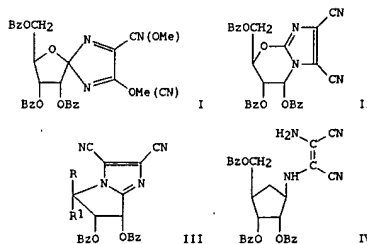


L13 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)



L13 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1986:207593 CAPLUS  
 DOCUMENT NUMBER: 104:207593  
 TITLE: The synthesis of spiro and bicyclic nucleosides from ribose adducts of diaminomaleonitrile  
 AUTHOR(S): Ferris, James P.; Devadas, Balekudru  
 CORPORATE SOURCE: Dep. Chem., Rensselaer Polytech. Inst., Troy, NY, 12180-3590, USA  
 SOURCE: Tetrahedron Letters (1986), 27(3), 323-6  
 CODEN: TELEAY; ISSN: 0040-4039  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 104:207593  
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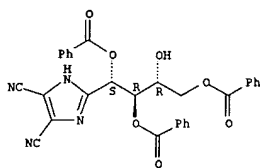


AB Spiro nucleoside I and bicyclic nucleosides II and III (R = H, R1 = BzOCH2; R = BzOCH2, R1 = H) were prepd. from the title adducts. For example, oxidn. of adduct IV with 2 equivs. of dichlorodicyanoquinone (DDQ) in MeOH resulted in 45% yield of one of the 2 possible regioisomers of I. Only 1 equiv. of DDQ was consumed when the oxidn. of IV was performed in MeCN to give a product (yield 50%) which on treatment with 1 equiv. of NBS at room temp. gave 55% II.

IT 101946-17-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREF (Preparation); RACT (Reactant or reagent) (prepn. and cyclization of)  
 RN 101946-17-2 CAPLUS  
 CN 1H-imidazole-4,5-dicarbonitrile, 2-[1,2,4-tris(benzoyloxy)-3-hydroxybutyl]-, [1S-(1R\*,2S\*,3S\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)



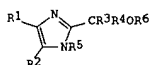
L13 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1983:149615 CAPLUS  
 DOCUMENT NUMBER: 98:149615  
 TITLE: Antiinflammatory 4,5-diaryl-1H-imidazole-2-methanol  
 INVENTOR(S): Whitney, Joel G.  
 PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA  
 SOURCE: U.S., 12 pp. Cont.-in-part of U.S. Ser. No. 199,731, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4372964	A	19830208	US 1981-294747	19810820
DK 8005135	A	19810708	DK 1980-5135	19801202
AU 8165969	A1	19810716	AU 1981-65969	19810105
NO 8100021	A	19810708	NO 1981-21	19810106
ZA 8100065	A	19820825	ZA 1981-65	19810106
FI 8100033	A	19810708	FI 1981-33	19810107
JP 56118072	A2	19810916	JP 1981-512	19810107
ES 498364	A1	19820601	ES 1981-498364	19810107

PRIORITY APPLN. INFO.:  
 US 1980-109923 19800107  
 US 1980-181991 19800828  
 US 1980-199731 19801030  
 US 1980-19923 19800107

OTHER SOURCE(S): CASREACT 98:149615  
 GI



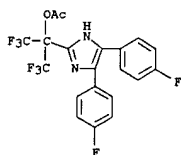
AB Analgesic and antiinflammatory comps. comprise I [R1 and R2 = Ph, substituted Ph, 3-pyridyl, or 2-thienyl; R3 and R4 = H, C1-3 alkyl, CF3, cyclopropyl, etc.; R5 = H or C1-3 alkyl; R6 = H, C1-3 alkyl, R7CO or CO2R7 (R7 = C1-2 alkyl)] and their salts. I (R5 and R6 = H) are prepd. by the treatment of the N-protected 4,5-disubstituted imidazole with BuLi in an inert solvent at low temp., followed by the addn. of aldehyde or ketone, and removal of the protecting group. Thus, a hard gelatin capsule contained active ingredient 50, lactose 175, talc 24, and Mg stearate 6 mg. In an adjuvant arthritis test in rats, the ED50 value of .alpha.-ethyl-4,5-bis(4-fluorophenyl)-.alpha.-(trifluoromethyl)-1H-imidazole-2-methanol (I; R1 and R2 = 4-FC6H4; R3 = CF3; R4 = Et; R5 and R6 = H) [85298-73-3] was 1.7 mg/kg.

IT 85298-67-58  
 RL: PREP (Preparation)  
 (prepn. of, as analgesic and inflammation inhibitor)

RN 85298-67-5 CAPLUS

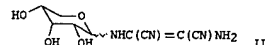
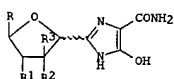
CN 1H-Imidazole-2-methanol, 4,5-bis(4-fluorophenyl)-.alpha..alpha.-

L13 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)  
 bis(trifluoromethyl)-, acetate (ester) (9CI) (CA INDEX NAME)



L13 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1981:462561 CAPLUS  
 DOCUMENT NUMBER: 95:62561  
 TITLE: General synthesis of imidazole C-nucleosides from carbohydrate adducts of diaminomaleonitrile  
 AUTHOR(S): Ferris, James P.; Badesha, Santokh S.; Ren, Wu Yun; Huang, Harry C.; Sorcek, Ronald J.  
 CORPORATE SOURCE: Dep. Chem., Rensselaer Polytech. Inst., Troy, NY, 12181, USA  
 SOURCE: Journal of the Chemical Society, Chemical Communications (1981), (3), 110-12  
 CODEN: JCCCAT; ISSN: 0022-4936  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



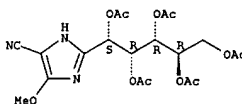
AB The imidazole C-nucleosides .alpha.- and .beta.-I (R = R3 = H, R1 = R2 = OH; R = CH2OH, R1 = R3 = OH, R2 = H) were prepd. from the adducts of ribose, arabinose, glucose, and mannose with diaminomaleonitrile. E.g., sequential treatment of the aminoribosylmaleonitrile II by DDQ (MeOH, room temp., 1.5 h), EtO(CH2)2OH-AcOH (150.degree., 3 h), Me2C(OMe)2, KOH-Me3COH, and Me3SiI gave .alpha.- and .beta.-I (R = R3 = H, R1 = R2 = OH).

IT 78300-58-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 78300-58-0 CAPLUS

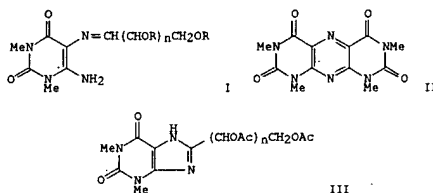
CN D-Arabinitol, 1-C-(4-cyano-5-methoxy-1H-imidazol-2-yl)-, 1,2,3,4,5-pentaacetate, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1979:575651 CAPLUS  
 DOCUMENT NUMBER: 91:175651  
 TITLE: Studies on heterocyclic compounds. XXV. C-Glycosyl nucleosides. XI. Interaction of Schiff bases with metal halides in dimethyl sulfoxide  
 AUTHOR(S): Sakaguchi, Masakazu; Miyata, Yoshihisa; Ogura, Haruo; Gonda, Kinji; Koga, Shozor; Okamoto, Toshihiko  
 CORPORATE SOURCE: Sch. Pharm. Sci., Kitasato Univ., Tokyo, 108, Japan  
 SOURCE: Chemical & Pharmaceutical Bulletin (1979), 27(5), 1094-1100  
 CODEN: CPBTAL; ISSN: 0009-2363  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB Reaction of Schiff bases I (R = H, n = 3-4), obtained from D-glucose, D-, and L-arabinose, with HgCl<sub>2</sub> in Me<sub>2</sub>SO at room temp. gave pyrimidopteridine II quant. I (R = Ac, n = 3-4) on similar reaction gave 37-40% nucleoside analogs III. Similar Schiff bases of 5,6-diamino-1,3-dimethyluracil and (+, -)-glyceraldehyde or PhCHO gave the corresponding theophylline or pteridine derivs. while H<sub>2</sub>N(CN):C(CN)N:CHCH(OH)CH<sub>2</sub>OH gave 2,3-dicyano-5-methylpyrazine. PdCl<sub>2</sub> instead of HgCl<sub>2</sub> gave the corresponding products, but in low yields. HgCl<sub>2</sub>, CaCl<sub>2</sub>, BaCl<sub>2</sub>, SrCl<sub>2</sub>, ZnCl<sub>2</sub>, and CdCl<sub>2</sub> gave no reaction with the Schiff bases.

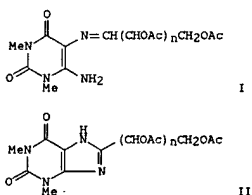
IT 70497-18-6P 70497-19-7P 70518-84-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 70497-19-6 CAPLUS  
 CN D-Arabinitol, 1-C-(2,3,6,7-tetrahydro-1,3-dimethyl-6-oxo-1H-purin-8-yl)-, 1,2,3,4,5-pentaacetate, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1979:439771 CAPLUS  
 DOCUMENT NUMBER: 91:39771  
 TITLE: C-Glycosyl nucleosides. XVII. A novel reaction of Schiff bases with mercuric chloride in dimethyl sulfoxide  
 AUTHOR(S): Ogura, Haruo; Sakaguchi, Masakazu; Okamoto, Toshihiko; Gonda, Kinji; Koga, Shozo  
 CORPORATE SOURCE: Sch. Pharm. Sci., Kitasato Univ., Tokyo, 108, Japan  
 SOURCE: Heterocycles (1979), 12(3), 359-63  
 CODEN: HTCYAM; ISSN: 0385-5414  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

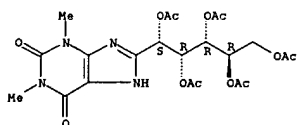


AB Pteridine or theophylline derivs. were obtained from the reaction of Schiff bases of 5,6-diamino-1,3-dimethyluracil with HgCl<sub>2</sub> in Me<sub>2</sub>SO via radical or ionic mechanisms, which were confirmed by time dependent ESR and NMR spectra. Reactions of Schiff bases of D-glucose, D-, and L-arabinose (II n = 4 or 3) with HgCl<sub>2</sub> gave 35-40% theophylline nucleosides II.

IT 70497-18-6P 70497-19-7P 70518-84-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

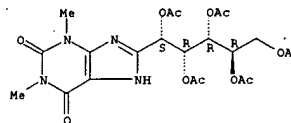
RN 70497-18-6 CAPLUS  
 CN D-Arabinitol, 1-C-(2,3,6,7-tetrahydro-1,3-dimethyl-6-oxo-1H-purin-8-yl)-, 1,2,3,4,5-pentaacetate, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



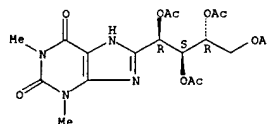
RN 70497-19-7 CAPLUS  
 CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-8-[1,2,3,4-

L13 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)



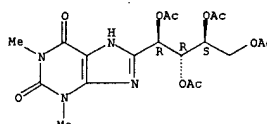
RN 70497-19-7 CAPLUS  
 CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-8-[1,2,3,4-tetrakis(acetyloxy)butyl]-, [1R-(1R\*,2S\*,3R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 70518-84-2 CAPLUS  
 CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-8-[1,2,3,4-tetrakis(acetyloxy)butyl]-, [1R-(1R\*,2R\*,3S\*)]- (9CI) (CA INDEX NAME)

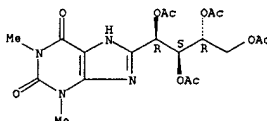
Absolute stereochemistry.



L13 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)

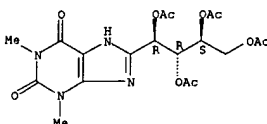
tetrakis(acetyloxy)butyl]-, [1R-(1R\*,2S\*,3R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 70518-84-2 CAPLUS  
 CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-8-[1,2,3,4-tetrakis(acetyloxy)butyl]-, [1R-(1R\*,2R\*,3S\*)]- (9CI) (CA INDEX NAME)

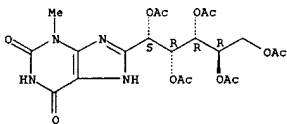
Absolute stereochemistry.



L13 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2002 ACS

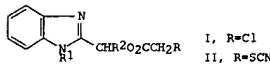
ACCESSION NUMBER: 1979:87777 CAPLUS  
 DOCUMENT NUMBER: 90:87777  
 TITLE: Complex formation of nucleosides with mercury(II) chloride and cadmium chloride  
 AUTHOR(S): Gonda, Kinji; Koga, Shozo; Sakaguchi, Masakazu; Miyata, Yoshihisa; Ogura, Haruo; Okamoto, Toshihiko  
 CORPORATE SOURCE: Inst. Appl. Microbiol., Univ. Tokyo, Tokyo, Japan  
 SOURCE: Yakugaku Zasshi (1978), 98(6), 708-14  
 CODEN: YKKZAJ; ISSN: 0031-6903  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Japanese  
 AB From the NMR chem. shifts the formation const. of 1:1 metal complexes with nucleosides were detd. in Me2SO at room temp. The complex formation const. of CdCl2-adenine and CdCl2-benzyladenine are 1.6-1.7 times larger than the values for the HgCl2 complexes of adenine and benzyladenine. On the other hand, the formation const. of HgCl2-6-mercaptopurine is larger than the value of CdCl2-6-mercaptopurine. 6-Methylmercaptopurine did not complex with HgCl2 or CdCl2. In nucleosides, the complex formation const. with HgCl2 is in the order of 4-thiouridine, cyclocytidine, and cytidine. The complex formation with HgCl2 or CdCl2 was not obsd. with nucleoside analogs prepd. from 5,6-diamino-1-methyluracil with D-glucose or D-galactose.  
 IT 69332-14-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and attempted complexation of, with mercuric chloride)  
 RN 69332-14-5 CAPLUS  
 CN D-Arabinitol, 1-C-(2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl)-, 1,2,3,4,5-pentaacetate, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

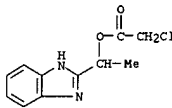


L13 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1977:468242 CAPLUS  
 DOCUMENT NUMBER: 87:68242  
 TITLE: Synthesis of thiocyanates derived from benzimidazole  
 AUTHOR(S): Sawlewicz, Jozef; Wisterowicz, Krystyna  
 CORPORATE SOURCE: Inst. Technol. Anal. Pharm. Prod., Sch. Med., Gdansk, Pol.  
 SOURCE: Acta Polonicae Pharmaceutica (1976), 33(4), 429-32  
 CODEN: APHAX; ISSN: 0001-6837  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Polish  
 GI

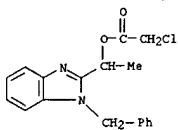


AB 2-(Hydroxymethyl)benzimidazole and ClCH2COCl in anhyd. dioxane yielded the benzimidazole I (R1 = R2 = H), which was treated with KSCN to give II (R1 = R2 = H). Analogously prepd. were I and II (R1 and R2 given): H, Me; H, H; PhCH2, H; PhCH2, Me. Chloroacetylation of the N-substituted benzimidazoles required an excess of ClCH2COCl to be used. The benzimidazoles were bactericidal.  
 IT 62877-89-8P 62877-92-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and reaction with potassium thiocyanate)  
 RN 62877-89-8 CAPLUS  
 CN Acetic acid, chloro-, 1-[1-(benzimidazol-2-yl)ethyl ester (9CI) (CA INDEX NAME)

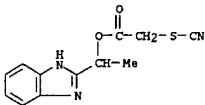


RN 62877-92-3 CAPLUS  
 CN Acetic acid, chloro-, 1-[1-(phenylmethyl)-1H-benzimidazol-2-yl]ethyl ester (9CI) (CA INDEX NAME)

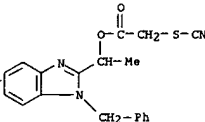
L13 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)



IT 62877-94-5P 62877-97-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 62877-94-5 CAPLUS  
 CN Acetic acid, thiocyanato-, 1-(1H-benzimidazol-2-yl)ethyl ester (9CI) (CA INDEX NAME)

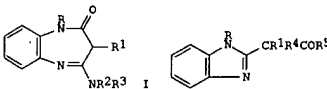


RN 62877-97-8 CAPLUS  
 CN Acetic acid, thiocyanato-, 1-[1-(phenylmethyl)-1H-benzimidazol-2-yl]ethyl ester (9CI) (CA INDEX NAME)

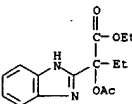


L13 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1977:171400 CAPLUS  
 DOCUMENT NUMBER: 86:171400  
 TITLE: Research on 1,5-benzodiazepines. I. Derivatives of 4-amino-1,5-benzodiazepine  
 AUTHOR(S): Roma, G.; Ermini, A.; Balbi, A.  
 CORPORATE SOURCE: Ist. Chim. Farm. Appl., Univ. Genova, Genoa, Italy  
 SOURCE: Farmaco, Edizione Scientifica (1977), 32(2), 81-91  
 CODEN: FRFSAX; ISSN: 0430-0920  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Italian  
 GI



AB Cyclocondensation of 2-H2NC6H4NHR (R = H, Ph) and EtO2CCHR1CONA2R3 (R1 = H, Me, Et; R2 = R3 = Me, Et; R2 = Me, Ph, R3 = Et; NR2R3 = pyrrolidino) in the presence of POCl3 gave benzodiazepines I. Also isolated from the reaction mixts. were benzimidazoles II (R = R1 = R4 = H, R5 = NEt2; R = H, R1 = Me, Et, R4 = OH, R5 = OEt; R = Ph, R1 = R4 = H, R5 = NMe2).  
 IT 62537-69-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 62537-69-3 CAPLUS  
 CN 1H-Benzimidazole-2-acetic acid, .alpha.-(acetoxy)-.alpha.-ethyl-, ethyl ester (9CI) (CA INDEX NAME)

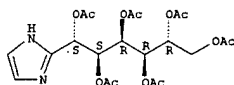


L13 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1974:520955 CAPLUS  
 DOCUMENT NUMBER: 81:120955  
 TITLE: Noncyclic c-nucleosides  
 INVENTOR(S): Matsui, Masanao; Ogawa, Tomoya; Yasui, Masayuki  
 PATENT ASSIGNEE(S): Institute of Physical and Chemical Research  
 SOURCE: Japan. Kokai, 9 pp.  
 CODEN: JKOXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49030361	A2	19740318	JP 1972-65630	19720630
JP 52003385	B4	19770127		

GI For diagram(s), see printed CA issue.  
 AB Noncyclic C-nucleosides are prep'd. by treating aldehyde sugars with 1-methyl-2-(trimethylsilyl)imidazole, 1,2-bis (trimethylsilyl)imidazole, 2-(trimethylsilyl)pyridine (I) or 2-lithiopyridine. Thus, 2.2 g 2,4:3,5-di-O-benzylidene-aldehyde-D-ribose was heated with 1.4 g I at 110 degree. for 3 hr, dissolved in aq. EtOH, and refluxed with a little pyridinium trifluoroacetate for 7 hr to give 72% 2-[2,4:3,5-di-O-benzylidene-D-altro-(and allo-)pentahydroxypentyl]pyridine (II), also prep'd. in 77% yield with 2-lithiopyridine. Among 5 more C-nucleosides prep'd. were 2-[2,4:3,5-di-O-benzylidene-D-altro-(and allo-)pentahydroxypentyl]imidazole, 1-methyl-2-[D-glycero-D-gulo-(and D-ido-)hexaacetoxyhexyl]imidazole, 2-[D-glucero-D-gulo-(and D-ido-)hexaacetoxyhexyl]imidazole, and 2-[D-altro-(and D-allo-)pentaacetoxyhexyl]imidazole.  
 IT 53428-61-8P 53428-62-9P 53428-63-0P  
 53428-64-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 53428-61-8 CAPLUS  
 CN D-Glucitol, 1-C-1H-imidazol-2-yl-, 1,2,3,4,5,6-hexaacetate, (S)- (9CI) (CA INDEX NAME)

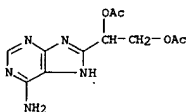
Absolute stereochemistry.



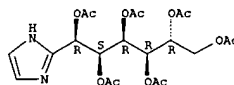
RN 53428-62-9 CAPLUS  
 CN D-Glucitol, 1-C-1H-imidazol-2-yl-, 1,2,3,4,5,6-hexaacetate, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1974:449972 CAPLUS  
 DOCUMENT NUMBER: 81:49972  
 TITLE: Synthesis of 8-(hydroxyalkyl)adenines  
 AUTHOR(S): El Khadem, Hassan S.; Sindric, Ronald  
 CORPORATE SOURCE: Dep. Chem., Michigan Technol. Univ., Houghton, Mich., USA  
 SOURCE: Carbohydr. Res. (1974), 34(1), 203-7  
 CODEN: CRBRAT  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA issue.  
 AB Condensation of 4,5,6-triaminopyrimidine with aldonic acids (glycolic, DL-glycic, D-ribonic, D-xylonic, and D-allonic) gave amides that upon pyrolysis gave the title derivs. (I).  
 IT 53130-87-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 53130-87-3 CAPLUS  
 CN 1,2-Ethanedione, 1-(6-amino-1H-purin-8-yl)-, diacetate (ester) (9CI) (CA INDEX NAME)

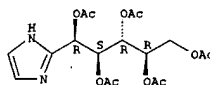


L13 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)



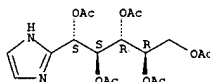
RN 53428-63-0 CAPLUS  
 CN D-Ribitol, 1-C-1H-imidazol-2-yl-, 1,2,3,4,5-pentaacetate, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

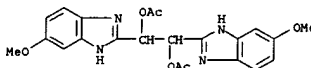


RN 53428-64-1 CAPLUS  
 CN D-Ribitol, 1-C-1H-imidazol-2-yl-, 1,2,3,4,5-pentaacetate, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



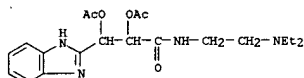
L13 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1972:470742 CAPLUS  
 DOCUMENT NUMBER: 77:70742  
 TITLE: Bisbenzimidazoles. Potent inhibitors of rhinoviruses  
 AUTHOR(S): Roderick, William R.; Nordeen, Carl W., Jr.; Von Esch, Anne M.; Appell, Raynor N.  
 CORPORATE SOURCE: Abbott Lab., North Chicago, Ill., USA  
 SOURCE: J. Med. Chem. (1972), 15(6), 655-8  
 CODEN: JMCMAR  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB A series of 27 bisbenzimidazoles and 11 related monobenzenimidazoles were prep'd. by the method of Phillips (1928): condensation of a substituted o-phenylenediamine with a carboxylic acid in 5N HCl at 135 deg.. None of the monobenzenimidazoles was active against rhinoviruses. The known (S,S)-1,2-bis(5-methoxy-2-benzimidazolyl)-1,2-ethanediol (Abbott 36683) (S,N-1) [34435-09-1] and 1,2-bis(5-methoxy-2-benzimidazolyl)ethane (II) [31253-05-1], (S)-1,2-bis(5-methoxy-2-benzimidazolyl)ethanol dihydrochloride hemihydrate (III.2HCl.0.5H2O) [35502-77-3], (R,R)-1,2-bis(5-methoxy-2-benzimidazolyl)-1,2-ethanediol (R,R-1) [34435-13-7], (S,S)-1,2-bis(5-ethoxy-2-benzimidazolyl)-1,2-ethanediol (S,S-IV) [34461-62-6] and S,S-I diacetate were active against rhinoviruses 1A and 42 in WI-38 cell culture at 10 mu.g/ml with S,S-I being the most active. Structural features essential for antiviral activity were: (1) no substituent on the 1 position of the benzimidazole; (2) a 5-methoxy or 5-ethoxy substituent; and (3) a 2-carbon chain, unsubstituted or substituted by hydroxyl, connecting the 2 benzimidazoles.  
 IT 37523-91-4  
 RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study) (virucidal activity of)  
 RN 37523-91-4 CAPLUS  
 CN 1,2-Ethanedione, 1,2-bis(5-methoxy-1H-benzimidazol-2-yl)-, diacetate (ester), [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)



L13 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1971:529812 CAPLUS  
 DOCUMENT NUMBER: 75:129812  
 TITLE: 4,5,6,7-Tetrahydrobenzimidazoles for use as corrosion inhibitors and antioxidants  
 INVENTOR(S): Butula, Ivan  
 PATENT ASSIGNEE(S): Rhein-Chemie Rheinau G.m.b.H.  
 SOURCE: Ger. Offen., 42 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1948795	A	19710408	DE 1969-1948795	19690926
GB 1310998	A	19730321	GB 1970-43850	19700914
JP 49024072	B4	19740620	JP 1970-83059	19700924
FR 2062636	A5	19710625	FR 1970-34761	19700925

PRIORITY APPLN. INFO.: DE 1969-1948795 19690926  
 GI For diagram(s), see printed CA Issue.  
 AB Substituted 4,5,6,7-tetrahydrobenzimidazoles I and their salts were prepd. by hydrogenation of the corresponding substituted benzimidazole in the presence of Rh or other suitable catalyst. For example, 2-(p-tert-butylphenyl)benzimidazole was hydrogenated at 120.degree. and 60 kg/cm<sup>2</sup> over Rh/C to give 75% 2-(4-tert-butylcyclohexyl)-4,5,6,7-tetrahydrobenzimidazole (II). A vulcanizate prepd. from natural crepe rubber 100, ZnO 10, stearic acid 1, BaSO<sub>4</sub> 75, TiO<sub>2</sub> 10, S 3, diphenylguanidine 0.5, and II 2 parts was aged at 100.degree. for 48 hr and showed tensile strength and elongation values 121% and 60% greater, resp., than those of a vulcanizate contg. no II. The I were also used in lubricating oils to prevent the corrosion of Cu and Fe.  
 IT 26663-82-1P 26663-83-2P 26751-32-6P  
 26785-90-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 26663-82-1 CAPLUS  
 CN 2-Benzimidazoleglyceramide, N-[2-(diethylamino)ethyl]-, diacetate (ester) (8CI) (CA INDEX NAME)

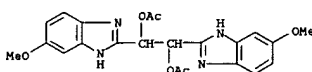


RN 26663-83-2 CAPLUS  
 CN 2-Benzimidazoleglyceric acid, methyl ester, diacetate (ester) (8CI) (CA INDEX NAME)

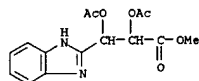
L13 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1971:100046 CAPLUS  
 DOCUMENT NUMBER: 74:100046  
 TITLE: Antiviral 1,2-di-2-benzimidazolyl-1,2-ethanediols  
 INVENTOR(S): Shen, Tsung-Ying; Dorn, Conrad P., Jr.; Grenda, Victor J.  
 PATENT ASSIGNEE(S): Merck and Co., Inc.  
 SOURCE: Ger. Offen.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2038952	A	19710218	DE 1970-2038952	19700805
NL 7011010	A	19710209	NL 1970-11010	19700724
GB 1278566	A	19720621	GB 1970-1278566	19700804
FR 2068466	A5	19710827	FR 1970-28867	19700805

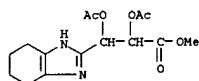
PRIORITY APPLN. INFO.: US 1969-848067 19690806  
 GI For diagram(s), see printed CA Issue.  
 AB The antiviral D, L, meso, and racemic forms of the title compds. (I) and (or) salts thereof were prepd. Thus, refluxing 4,2-MeO(H<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>-NH<sub>2</sub> and L-tartaric acid in 4N HCl 18 hr yielded 1,2HCl (R = MeO, R<sub>1</sub> = R<sub>3</sub> = R<sub>4</sub> = H, R<sub>2</sub> = Pr). Similarly prepd. were I (R<sub>4</sub> = H) (R, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, salt, and isomer given): MeO, H, H, Me, -, -, CO<sub>2</sub>H, H, H, H, 2HCl, -, MeO, MeO, H, H, 2HCl, -, CO<sub>2</sub>Et, H, H, H, 2HCl, -, F, H, H, H, 2HCl, L: MeS, H, H, H, 2HCl, L. I were converted by various methods (e.g., N-alkylation, O-acylation) into active I derivs.  
 IT 31545-10-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 31545-10-5 CAPLUS  
 CN 1,2-Ethanediol, 1,2-bis(5-methoxy-2-benzimidazolyl)-, diacetate (ester) (8CI) (CA INDEX NAME)



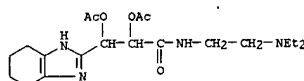
L13 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 26751-32-6 CAPLUS  
 CN 2-Benzimidazoleglyceric acid, 4,5,6,7-tetrahydro-, methyl ester, diacetate (ester) (8CI) (CA INDEX NAME)



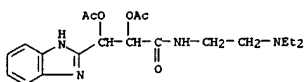
RN 26785-90-0 CAPLUS  
 CN 2-Benzimidazoleglyceramide, N-[2-(diethylamino)ethyl]-4,5,6,7-tetrahydro-, diacetate (ester) (8CI) (CA INDEX NAME)



L13 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1970:111472 CAPLUS  
 DOCUMENT NUMBER: 72:111472  
 TITLE: 4,5,6,7-Tetrahydrobenzimidazole  
 INVENTOR(S): Butula, Ivan  
 PATENT ASSIGNEE(S): Rhein-Chemie G.m.b.H.  
 SOURCE: Ger. Offen., 43 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1913184	A	19691002	DE 1969-1913184	19690314
GB 1260294	A	19720112	GB 1968-12750	19680315
FR 2003995	A5	19691114	FR 1969-7271	19690314
CH 520143	A	19720315	CH 1969-520143	19690314
US 3920678	A	19751118	US 1973-361106	19730517

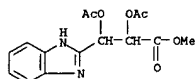
PRIORITY APPLN. INFO.: GB 1968-12750 19680315  
 US 1969-807399 19690314  
 GI For diagram(s), see printed CA Issue.  
 AB Pd, Pd(OH)<sub>2</sub>, or a similar Pd compd. is used as the hydrogenation catalyst for the conversion of benzimidazoles to 4,5,6,7-tetrahydrobenzimidazoles, without the simultaneous hydrogenation of other points of unsatn. in the benzimidazole mol. or in substituents (e.g., Ph groups) on the mol. The 4,5,6,7-tetrahydro-benzimidazoles are useful as corrosion inhibitors, antioxidants, chem. intermediates, epoxy resin hardeners, surfactants (as quaternary ammonium salts), etc. Thus, 1.2 g benzimidazole in 20 ml AcOH was hydrogenated during 18 min at 80.degree. under 1 atm H in the presence of 0.1 g Pd (on 1.9 g BaSO<sub>4</sub>) to give 4,5,6,7-tetrahydrobenzimidazole (I), m. 150.degree. The reaction also proceeded readily in MeOH, 2N aq. HCl, 5.5% aq. HClO<sub>4</sub>, and similar solvents.  
 IT 26663-82-1P 26663-83-2P 26751-32-6P  
 26785-90-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 26663-82-1 CAPLUS  
 CN 2-Benzimidazoleglyceramide, N-[2-(diethylamino)ethyl]-, diacetate (ester) (8CI) (CA INDEX NAME)



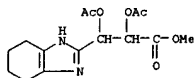
RN 26663-83-2 CAPLUS  
 CN 2-Benzimidazoleglyceric acid, methyl ester, diacetate (ester) (8CI) (CA INDEX NAME)



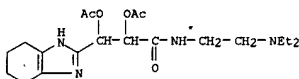
L13 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)



RN 26751-32-6 CAPLUS  
CN 2-Benzimidazoleglyceric acid, 4,5,6,7-tetrahydro-, methyl ester, diacetate (ester) (8CI) (CA INDEX NAME)



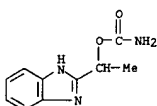
RN 26785-90-0 CAPLUS  
CN 2-Benzimidazoleglyceric acid, N-[2-(diethylamino)ethyl]-4,5,6,7-tetrahydro-, diacetate (ester) (8CI) (CA INDEX NAME)



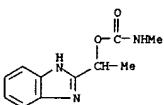
L13 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)  
following I: V, VI, m. 170-5.degree. (decompn.), and VII. I are active against cecal coccidiosis in poultry and accelerate or retard certain sleep-inducing drugs.

IT 17577-51-4P 17577-58-1P 28258-42-6P  
28724-58-5P  
RI: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 17577-51-4 CAPLUS  
CN 2-Benzimidazolemethanol, .alpha.-methyl-, carbamate (ester) (8CI) (CA INDEX NAME)



RN 17577-58-1 CAPLUS  
CN Carbanic acid, methyl-, 1-(2-benzimidazolyl)ethyl ester (8CI) (CA INDEX NAME)



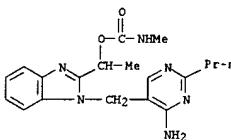
RN 28258-42-6 CAPLUS  
CN Carbanic acid, methyl-, 1-[1-(4-amino-2-propyl-5-pyrimidinyl)methyl]-5(or 6)-chloro-2-benzimidazolyl)ethyl ester, trihydrobromide (8CI) (CA INDEX NAME)

L13 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2002 ACS  
ACCESSION NUMBER: 196878285 CAPLUS  
DOCUMENT NUMBER: 6878285  
TITLE: Carbamates of 2-(hydroxyalkyl)benzimidazoles  
INVENTOR(S): Bywater, William G.; Brown, Bernard Beau; Clegg, John M.  
PATENT ASSIGNEE(S): Penick, S. B., and Co.  
SOURCE: U.S., 3 pp.  
CODEN: USXXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3318889		19670509	US	19631014

GI For diagram(s), see printed CA issue.  
AB The title compds. (I) are prep'd. by treatment of an o-phenylenediamine with an appropriate hydroxy carboxylic acid, and conversion of the hydroxyalkylbenzimidazole thus formed to the carbamate by standard methods. Thus, a mixt. of 0.5 mole 4,5-dichloro-o-phenylenediamine and 0.75 mole glycolic acid was refluxed for 4-6 hrs. in a soln. of 400 ml. concd. HCl and 400 ml. H<sub>2</sub>O to yield 82.3% 5,6-dichloro-2-hydroxymethylbenzimidazole (II), m. 270-1.degree. (decompn.) (MeOH). II (0.03 mole) was dissolved in 75 ml. dry pyridine at 70.degree., the soln. cooled to 40.degree. with stirring, 0.0475 mole Me isocyanate added, and the mixt. kept at 70.degree. for 30 min. to give 464 5,6-dichloro-2-(N-methylcarbamoyloxymethyl)benzimidazole (III), m. 225.degree. (decompn.). Alternatively, 0.06 mole Me<sub>2</sub>NCOCl was added to 0.05 mole II dissolved in 150 ml. dry pyridine and the mixt. refluxed for 4 hrs. to give 45% 4,5-dichloro-2-(N,N-dimethylcarbamoyloxymethyl)benzimidazole (IV), m. 176-8.degree.. In another method, a mixt. consisting of 0.5 mole 2-(.alpha.-hydroxyethyl)benzimidazole, 0.55 mole urethane, and a catalytic amt. of (iso-PrO)<sub>3</sub>Al was refluxed in toluene for 32 hrs. during which time 5 addnl. 2-3 g. portions of (iso-PrO)<sub>3</sub>Al were added to give 11.5 g. 2-(.alpha.-carbamoyloxymethyl)benzimidazole (V), m. 207-7.5.degree.. Other I prep'd. by these methods were: 5,6-dichloro-2-(.beta.-N-methylcarbamoyloxymethyl)benzimidazole, m. 147-53.degree. (decompn.); 5,6-dichloro-2-(.gamma.-N-methylcarbamoyloxymethyl)benzimidazole, m. 178-80.degree.; 5,6-dichloro-2-(N-ethylcarbamoyloxymethyl)benzimidazole (VI), m. 225-7.degree.; 5,6-dichloro-2-(.alpha.-N-methylcarbamoyloxymethyl)benzimidazole (VII), m. 157-8.degree. (decompn.); 5,6-dichloro-2-(.alpha.-N-ethylcarbamoyloxymethyl)benzimidazole, m. 171-3.degree.; 2-(N-methylcarbamoyloxymethyl)-benzimidazole, m. 120-1.degree.; 2-(.alpha.-N-methylcarbamoyloxymethyl)-benzimidazole, m. 110-11.degree.; 5(6)-chloro-2-(N-methylcarbamoyloxymethyl)benzimidazole, m. 99-100.degree.; 5(6)-chloro-2-(.alpha.-N-methylcarbamoyloxymethyl)benzimidazole, m. 203-5.degree.; 5(6)-nitro-2-(N-methylcarbamoyloxymethyl)benzimidazole, m. 199-201.degree.. Quaternizations of I were carried out as follows. Equimolar amts. of IV and 4-amino-5-bromomethyl-2-propylpyrimidine dihydrobromide (VIII) were dissolved in MeOH and an equal vol. of MeCN was added to give 50% 3-(4-amino-2-propyl-5-pyrimidinylmethyl)-5,6-dichloro-2-(N,N-dimethylcarbamoyloxymethyl)benzimidazolium bromide dihydrobromide, m. 270.degree. (charring). Quaternary salts were prep'd. from VIII and the

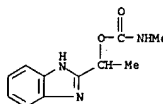
L13 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)



D1-C1

●3 HBr

RN 28724-58-5 CAPLUS  
CN Carbanic acid, methyl-, 1-(5-chloro-2-benzimidazolyl)ethyl ester (8CI) (CA INDEX NAME)



D1-C1

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

114.51

561.75

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-16.11

-19.21

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